

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
29 December 2004 (29.12.2004)

PCT

(10) International Publication Number  
**WO 2004/113363 A3**

(51) International Patent Classification<sup>7</sup>: **C07K 5/062**,  
5/065, 5/068, 5/072, A61P 7/02, A61K 38/05

(21) International Application Number:  
PCT/GB2004/002569

(22) International Filing Date: 16 June 2004 (16.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0314262.7 19 June 2003 (19.06.2003) GB

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:  
24 February 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **DIPEPTIDE TRANSGLUTAMINASE INHIBITORS AND METHODS OF USING THE SAME**

(57) Abstract: The present invention relates to novel compounds of Formula (I) wherein 'X' represents an amino acid group, 'n' is an integer between 1 and 4, 'R<sub>1</sub>' represents benzyl, t-butyl or 9-fluorenylmethyl and 'R<sub>2</sub>' represents a tetramethylmercaptoimidazole derivative or -S<sup>+</sup>R<sub>3</sub>R<sub>4</sub>, wherein R<sub>3</sub> and R<sub>4</sub> each independently represent lower alkyl, or a pharmaceutically and/or veterinarily acceptable derivative thereof. The present invention further relates to pharmaceutical formulations of said compound and the use thereof in the preparation of a medicament for inhibiting diseases in which transglutaminase has been implicated. Advantageously, the medicament is for treating fibrosis, scarring and/or cancer. Additionally, the invention relates to a method of inhibiting autoimmune diseases such as coeliac disease, neurodegeneration and chronic inflammatory diseases (e.g. of the joints including rheumatoid arthritis and osteoarthritis in a subject). The invention further relates to a method for preventing or treating rejection of a transplanted organ.



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